Compound Artemisinin

Technical field

The present invention relates to medicaments for the treatment of malaria, in particular to a combination comprising artemisinin having high and rapid therapeutic effect.

Background art

Among the prior art anti-malaria drugs, some employ artemisinin derivatives (such as dihydroartemisinin, artesunate, artemether, arteether) in conjunction with piperaquine having a long half-life, which result in long course of treatment, and resistant plasmodia; some employ phosphates of piperaquine and primaquine, which have suboptimal therapeutic effect due to GI tract side effects of said phosphates. In addition, the prior art anti-malaria drugs suffer from the disadvantages of long processing period, high production cost, short shelf life, large dosage and the like.

Disclosure of the invention

The object of the present invention is to overcome the shortcomings in the prior art by providing a combination comprising artemisinin, which requires shorter course of treatment, with less side effect, lower production cost, more convenience for administration, as well as high and rapid therapeutic effect.

The object of the present invention is achieved by a combination comprising artemisinin, which can be formulated into tablets and granules, suppository, suspension syrup or dry powder for pediatric use. The combination comprises artemisinin, piperaquine and primaquine in following ratio ranges:

artemisinin, 1 part

piperaquine, 3-9 parts

primaquine, 0-0.2 part,

the optimum ratio being 1:6:0.6.

The primaquine can also be formulated into a separate tablet to be taken along with a tablet of mixed artemisinin and piperaquine.

It has been shown through clinical trials of more than 600 cases of multiple-resistant subtertian malaria, tertian malaria and quartan malaria that the present drug is characterized by rapid and high therapeutic effect, low toxicity, short course of treatment, and ability of rapidly eliminating infection source to block spreading of malaria, which is obviously superior to domestic and foreign drugs of the same class in terms of therapeutic effect and function.

Embodiment of the invention

The formulation is as follows:

Artemisinin	120 g
Piperaquine	1200 g
Primaquine	6 g
Adjuvant (hydroxypropyl cellulose and etc.)	q.s.
to produce	1,000 Tablets

The preparation process

Qualified materials are respectively crushed and pass through a screen of 100 meshes. The materials and adjuvant are accurately weighed according to the formulation, and the individual ingredients are homogenously mixed and compressed into tablets or prepared into various dosage forms for pediatric use, which are then packed to give the finished product.

The present combination comprising artemisinin is useful in the treatment of various malarias (human malaria such as subtertian malaria, tertian malaria and quartan malaria), in particular multiple-resistant subtertian malaria. The total dosage for an adult is 2 tablets, with 1 tablet per day.

Primaquine is contained in the present combination in an amount of only 6 mg per tablet, which is the daily dosage and taken for only two days. This dosage is reduced by 82% as compared with a conventional dosage of 67.5 mg in three days. Its use in conjunction with artemisinin, as proved by experiment, can lead to the gametophyte of plasmodium falciparum losing its infectivity completely by 24 h after the first dose, thereby blocking its propagation without any side effect. It is one particular feature possessed by the present combination using an ultra-low dose of primaquine.

Due to its advantages including lower material cost, smaller size, shorter course of treatment, and more convenience for administration (2 tablets in two days for an adult) as well as ability of substantially killing gametophyte to block spreading of malaria, as compared with any artemisinin combinations, the present combination can favorably enter national state hospitals in developing countries at a relatively low price, and is in the interest of global application and dissemination of anti-malaria measures.